

Patent Claims

1. A pharmaceutical composition for slow release of
active ingredient in the gastrointestinal tract,
5 comprising a plurality of coated active
ingredient-containing particles which have an
active ingredient-containing core and a coating
comprising a polymer insoluble in gastric and
intestinal juices, where the active ingredient-
10 containing core of the coated particles is a
homogeneous mixture comprising an active
pharmaceutical ingredient and a polymer insoluble
in gastric and intestinal juices, and has an
average internal pore diameter, measured by
15 mercury porosimetry at 1000 to 4000 bar, not
exceeding 35 μm .

2. A pharmaceutical composition for slow release of
active ingredient in the gastrointestinal tract,
20 comprising a plurality of coated active
ingredient-containing particles which have an
active ingredient-containing core and a coating
comprising a polymer insoluble in gastric and
intestinal juices, where the active ingredient-
25 containing core of the coated particles is a
homogeneous mixture comprising an active
pharmaceutical ingredient and a polymer insoluble
in gastric and intestinal juices, and has a
percent porosity not exceeding 27%.

30
3. A composition as claimed in claim 1 or 2, wherein
the polymer present in the core of the coated
active ingredient-containing particles and/or the
polymer present in the coating of the coated
35 active ingredient-containing particles is a
polymer which is able to swell and/or be eroded in
gastric and/or intestinal juices.

5
10
15
20
25
30
35

4. A composition as claimed in any of claims 1 to 3, wherein the polymer present in the core of the coated active ingredient-containing particles and/or the polymer present in the coating of the coated active ingredient-containing particles is a cellulose ether, a cellulose ester or a polymer or copolymer of acrylic and/or methacrylic esters, preferably a copolymer of acrylic and methacrylic esters.
5. A composition as claimed in any of claims 1 to 4, wherein the core of the coated active ingredient-containing particles contains 2-30% by weight of polymer insoluble in gastric and intestinal juices, based on the active ingredient, and/or the coating of the coated active ingredient-containing particles contains 2-30% by weight of polymer insoluble in gastric and intestinal juices, based on the active ingredient.
6. A composition as claimed in any of claims 1 to 5, wherein the coated active ingredient-containing particles have a particle size of from 0.1 to 3.0 mm, preferably 0.2 to 2.5 mm.
7. A composition as claimed in any of claims 1 to 6, wherein the majority of the coated particles have a sphericity according to Wadell of less than 0.9.
8. A composition as claimed in any of claims 1 to 7, wherein the active pharmaceutical ingredient is an active ingredient from the group of antidiabetics, analgesics, antiinflammatory agents, antirheumatic agents, antihypotensives, antihypertensives, psychopharmaceuticals, tranquilizers, antiemetics, muscle relaxants, glucocorticoids, agents for treating ulcerative colitis or Crohn's disease, antiallergics, antibiotics, antiepileptics,

anticoagulants, antimycotics, antitussives, arteriosclerosis remedies, diuretics, enzymes, enzyme inhibitors, gout remedies, hormones and their inhibitors, cardiac glycosides, immunotherapeutics and cytokines, laxatives, lipid-lowering agents, migraine remedies, mineral preparations, otologicals, antiparkinson agents, thyroid therapeutics, spasmolytics, platelet aggregation inhibitors, vitamins, cytostatics and metastasis inhibitors, phytopharmaceuticals, chemotherapeutics and amino acids.

5

10

15

20

25

30

35

9. A composition as claimed in any of claims 1 to 8, wherein the active pharmaceutical ingredient is an active ingredient from the group of analgesics, agents for treating ulcerative colitis or Crohn's disease, corticosteroids, proton pump inhibitors, virus statics, lipid-lowering agents, H2 blockers, antibiotics and ACE inhibitors.

10. A composition as claimed in any of claims 1 to 9, wherein the active pharmaceutical ingredient is tramadol, morphine, 5-aminosalicylic acid, budesonide, omeprazole, acyclovir, simvastatin, pravastatin, ranitidine, famotidine, amoxicillin, clavulanic acid, enalapril, amlodipine or a pharmaceutically acceptable salt or derivative thereof.

11. A composition as claimed in any of claims 1 to 10, in the form of tablets, sugar-coated tablets, capsules, film-coated tablets, disperse tablets, lingual disperse tablets, effervescent tablets, sachets, powders for reconstitution or suppositories.

12. A composition as claimed in any of claims 1 to 11, in the form of tablets containing microcrystalline

cellulose, water-soluble polyvinylpyrrolidone and crosslinked water-insoluble polyvinylpyrrolidone as tablet excipients.

- 5 13. A composition as claimed in any of claims 1 to 12
in the form of a divisible delayed release tablet.
- 10 14. A process for producing a pharmaceutical
composition as claimed in any of claims 1 and 3 to
13, which comprises the active pharmaceutical
ingredient being mixed with a polymer insoluble in
gastric and intestinal juices and compacted to a
composition in such a way that the compacted
15 composition has an average internal pore diameter,
measured by mercury porosimetry at 1000 to
4000 bar, not exceeding 35 μm , and comprises the
compacted composition being comminuted to
particles, and the particles being coated with a
polymer insoluble in gastric and intestinal
20 juices, and comprises, if required, the coated
particles being converted into a suitable dosage
form.
- 25 15. A process for producing a pharmaceutical
composition as claimed in any of claims 2 to 13,
which comprises the active pharmaceutical
ingredient being mixed with a polymer insoluble in
gastric and intestinal juices and compacted to a
composition in such a way that the compacted
30 composition has a percent porosity not exceeding
27%, and comprises the compacted composition being
comminuted to particles, and the particles being
coated with a polymer insoluble in gastric and
intestinal juices, and comprises, if required, the
35 coated particles being converted into a suitable
dosage form.

16. A process as claimed in claim 14 or 15, wherein
for mixing the active pharmaceutical ingredient
with the polymer insoluble in gastric and
intestinal juices the active ingredient is
moistened with an aqueous and/or organic
dispersion or solution of the polymer, and the
mixture is granulated and dried.

10 16. [sic] A process as claimed in any of claims 14 to
16, wherein the compaction takes place under a
pressure of at least 5 kN per cm length of press.

IN THE CLAIMS:

Replace the title of the claims with:

WHAT IS CLAIMED IS:

Replace the indicated claims with:

3. (Amended) A composition as claimed in claim 1, wherein the polymer present in the core of the coated active ingredient-containing particles and/or the polymer present in the coating of the coated active ingredient-containing particles is a polymer which is able to swell and/or be eroded in gastric and/or intestinal juices.

4. (Amended) A composition as claimed in claim 1, wherein the polymer present in the core of the coated active ingredient-containing particles and/or the polymer present in the coating of the coated active ingredient-containing particles is a cellulose ether, a cellulose ester or a polymer or copolymer of acrylic and/or methacrylic esters.

5. (Amended) A composition as claimed in claim 1, wherein the core of the coated active ingredient-containing particles contains 2-30% by weight of polymer insoluble in gastric and intestinal juices, based on the active ingredient, and/or the coating of the coated active ingredient-containing particles contains 2-30% by weight of polymer insoluble in gastric and intestinal juices, based on the active ingredient.

6. (Amended) A composition as claimed in claim 1, wherein the coated active ingredient-containing particles have a particle size of from 0.1 to 3.0 mm.

7. (Amended) A composition as claimed in claim 1, wherein the majority of the coated particles have a sphericity according to Wadell of less than 0.9.

8. (Amended) A composition as claimed in claim 1, wherein the active pharmaceutical ingredient is an active ingredient from the group of antidiabetics, analgesics, antiinflammatory agents, antirheumatic agents, antihypotensives, antihypertensives,

psychopharmaceuticals, tranquilizers, antiemetics, muscle relaxants, glucocorticoids, agents for treating ulcerative colitis or Crohn's disease, antiallergics, antibiotics, antiepileptics, anticoagulants, antimycotics, antitussives, arteriosclerosis remedies, diuretics, enzymes, enzyme inhibitors, gout remedies, hormones and their inhibitors, cardiac glycosides, immunotherapeutics and cytokines, laxatives, lipid-lowering agents, migraine remedies, mineral preparations, otologicals, antiparkinson agents, thyroid therapeutics, spasmolytics, platelet aggregation inhibitors, vitamins, cytostatics and metastasis inhibitors, phytopharmaceuticals, chemotherapeutics and amino acids.

9. (Amended) A composition as claimed in claim 1, wherein the active pharmaceutical ingredient is an active ingredient from the group of analgesics, agents for treating ulcerative colitis or Crohn's disease, corticosteroids, proton pump inhibitors, virus statics, lipid-lowering agents, H2 blockers, antibiotics and ACE inhibitors.

10. (Amended) A composition as claimed in claim 1, wherein the active pharmaceutical ingredient is tramadol, morphine, 5-aminosalicylic acid, budesonide, omeprazole, acyclovir, simvastatin, pravastatin, ranitidine, famotidine, amoxicillin, clavulanic acid, enalapril, amlodipine or a pharmaceutically acceptable salt or derivative thereof.

11. (Amended) A composition as claimed in claim 1, in the form of tablets, sugar-coated tablets, capsules, film-coated tablets, disperse tablets, lingual disperse tablets, effervescent tablets, sachets, powders for reconstitution or suppositories.

12. (Amended) A composition as claimed in claim 1, in the form of tablets containing microcrystalline cellulose, water-soluble polyvinylpyrrolidone and crosslinked water-insoluble polyvinylpyrrolidone as tablet excipients.

13. (Amended) A composition as claimed in claim 1 in the form of a divisible delayed release tablet.

14. (Amended) A process for producing a pharmaceutical composition as claimed in claim 1, which comprises the active pharmaceutical ingredient being mixed with a polymer insoluble in gastric and intestinal juices and compacted to a composition in such a way that the

compacted composition has an average internal pore diameter, measured by mercury porosimetry at 1000 to 4000 bar, not exceeding 35 μm , and comprises the compacted composition being comminuted to particles, and the particles being coated with a polymer insoluble in gastric and intestinal juices, and comprises, optionally, the coated particles being converted into a suitable dosage form.

15. (Amended) A process for producing a pharmaceutical composition as claimed in claim 2, which comprises the active pharmaceutical ingredient being mixed with a polymer insoluble in gastric and intestinal juices and compacted to a composition in such a way that the compacted composition has a percent porosity not exceeding 27%, and comprises the compacted composition being comminuted to particles, and the particles being coated with a polymer insoluble in gastric and intestinal juices, and comprises, optionally, the coated particles being converted into a suitable dosage form.

16. (Amended) A process as claimed in claim 14, wherein for mixing the active pharmaceutical ingredient with the polymer insoluble in gastric and intestinal juices the active ingredient is moistened with an aqueous and/or organic dispersion or solution of the polymer, and the mixture is granulated and dried.

17. (Amended) A process as claimed in claim 14, wherein the compaction takes places under a pressure of at least 5 kN per cm length of press.

Add the following claims:

18. (New) A composition as claimed in claim 2, wherein the polymer present in the core of the coated active ingredient-containing particles and/or the polymer present in the coating of the coated active ingredient-containing particles is a polymer which is able to swell and/or be eroded in gastric and/or intestinal juices.

19. (New) A composition as claimed in claim 2, wherein the polymer present in the core of the coated active ingredient-containing particles and/or the polymer present in the coating of the coated active ingredient-containing particles is a cellulose ether, a cellulose ester or a polymer or copolymer of acrylic and/or methacrylic esters.

20. (New) A composition as claimed in claim 2, wherein the core of the coated active ingredient-containing particles contains 2-30% by weight of polymer insoluble in gastric and intestinal juices, based on the active ingredient, and/or the coating of the coated active ingredient-containing particles contains 2-30% by weight of polymer insoluble in gastric and intestinal juices, based on the active ingredient.

21. (New) A composition as claimed in claim 2, wherein the coated active ingredient-containing particles have a particle size of from 0.1 to 3.0 mm.

09890104-101601